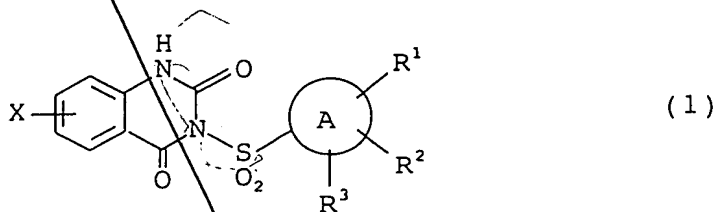


CLAIMS

1. (Amended) A quinazoline derivative having the following formula (1) and a pharmaceutically acceptable salt thereof:



wherein the ring A represents an aryl group;

R<sup>1</sup> represents a hydroxyl group, an amino group, a C<sub>1</sub> to C<sub>4</sub> lower alkylamino group which may be substituted with a carboxylic acid group, a C<sub>1</sub> to C<sub>10</sub> lower aralkylamino group which may be substituted with a carboxylic acid group, an amino group acylated with a C<sub>1</sub> to C<sub>4</sub> lower aliphatic acid which may be substituted with a carboxylic acid group, an amino group acylated with an aromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group acylated with a heteroaromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a C<sub>1</sub> to C<sub>4</sub> lower alkanesulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with an aromatic ring sulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a heteroaromatic ring sulfonic acid which may be substituted with a carboxylic acid group, a C<sub>1</sub> to C<sub>4</sub> lower alkyl group substituted with a carboxylic acid group, or a C<sub>2</sub> to C<sub>4</sub> lower alkylene group which may be substituted with a carboxylic acid group;

R<sup>2</sup> and R<sup>3</sup> may be the same or different and represent a hydrogen atom, an unsubstituted or substituted C<sub>1</sub> to C<sub>4</sub> lower alkyl group, a halogen atom, a hydroxyl group, a C<sub>1</sub> to C<sub>4</sub> lower alkoxy group, an amino group, an unsubstituted or substituted C<sub>1</sub> to C<sub>4</sub> lower

Sub  
C<sub>3</sub>

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Sub C3

alkylamino group, an unsubstituted or substituted C<sub>1</sub> to C<sub>10</sub> aralkylamino group, an amino group acylated with a C<sub>1</sub> to C<sub>4</sub> lower aliphatic acid which may be substituted with a carboxylic acid group, an amino group acylated with an aromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group acylated with a heteroaromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a C<sub>1</sub> to C<sub>4</sub> lower alkanesulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with an aromatic ring sulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a heteroaromatic ring sulfonic acid which may be substituted with a carboxylic acid group, or a carboxylic acid group or

when the ring A is a benzene ring, R<sup>1</sup> and R<sup>2</sup> may form, together with the substituting benzene ring, a fused heterocyclic ring which may be substituted with a carboxylic acid and in which the carbon atom in the ring may form a carbonyl group and R<sup>3</sup> is the same as defined above; and

X represents a hydrogen atom, a C<sub>1</sub> to C<sub>4</sub> lower alkyl group, a C<sub>1</sub> to C<sub>4</sub> lower alkoxy group, a halogen atom, a hydroxyl group, an amino group, or a nitro group, with the proviso that, when the ring A is a benzene ring, R<sup>1</sup> is an amino group and both R<sup>2</sup> and R<sup>3</sup> are a hydrogen atom, R<sup>1</sup> is not positioned at the para-position to the sulfonyl group.

2. A quinazoline derivative or a pharmaceutically acceptable salt thereof as claimed in claim 1, wherein, in the formula (1), R<sup>1</sup> is a hydroxyl group, an amino group, a C<sub>1</sub> to C<sub>4</sub> lower alkylamino group substituted with a carboxylic acid group, or an amino group acylated with a C<sub>1</sub> to C<sub>4</sub> lower aliphatic acid substituted with a carboxylic acid group.

3. A quinazoline derivative or a pharmaceutically acceptable salt thereof as claimed in claim 1 or 2, wherein,

Sub B1

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in the formula (1),  $R^2$  is a carboxylic acid group or a hydrogen atom.

4. A quinazoline derivative or a pharmaceutically

Sub  
B1

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Sub B1  
A acceptable salt thereof as claimed in any one of ~~claims 1 to 3~~, wherein R<sup>3</sup> in the formula (I) is a hydrogen atom. Claim 1

5. A pharmaceutical composition comprising as an effective ingredient a pharmaceutically effective amount of a quinazoline derivative or the pharmaceutically acceptable salt thereof according to any one of ~~claims 1 to 4~~ and a pharmaceutically acceptable carrier therefor. Claim 2

6. A chymase inhibitor having as an effective ingredient a quinazoline derivative or its pharmaceutically acceptable salt according to any one of ~~claims 1 to 4~~. Claim 3

7. A pharmaceutical composition as claimed in claim 5 for prevention or treatment of allergic diseases or rheumatic diseases.

8. A pharmaceutical composition as claimed in claim 5 for prevention or treatment of bronchial asthma, eczema, atopic dermatitis, mastocytosis, scleriosis, or rheumatoid arthritis.

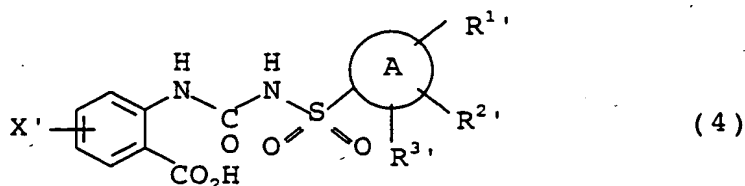
9. A pharmaceutical composition as claimed in claim 5 for prevention or treatment of cardiac and circulatory system diseases due to the abnormal exacerbation of Angiotensin II production.

10. A pharmaceutical composition as claimed in claim 5 for prevention or treatment of cardiac insufficiency, hypercardia, stasis cardiac diseases, hypertension, arteriosclerosis, peripheral circulatory diseases, revasoconstriction after PTCA, diabetic renal disorders or non-diabetic renal disorders, coronary diseases including cardiac infarction, angioendothelia, or vascular disorders accompanying arterialization and atheroma.

11. (Amended) A sulfonylurea derivative having the formula (4):

(4)

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wherein the ring A represents an aryl group;

10  $R^{1'}$  is  $R^1$ , which may be protected with a protecting group, and which represents a hydroxyl group, an amino group, a  $C_1$  to  $C_4$  lower alkylamino group which may be substituted with a carboxylic acid group, a  $C_7$  to  $C_{10}$  lower aralkylamino group which may be substituted  
15 with a carboxylic acid group, an amino group acylated with a  $C_1$  to  $C_4$  lower aliphatic acid which may be substituted with a carboxylic acid group, an amino group acylated with an aromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino  
20 group acylated with a heteroaromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a  $C_1$  to  $C_4$  lower alkanesulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with  
25 an aromatic ring sulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a heteroaromatic ring sulfonic acid which may be substituted with a carboxylic acid group, a  $C_1$  to  $C_4$  lower alkyl group substituted with a carboxylic acid  
30 group, or a  $C_2$  to  $C_4$  lower alkylene group which may be substituted with a carboxylic acid group;

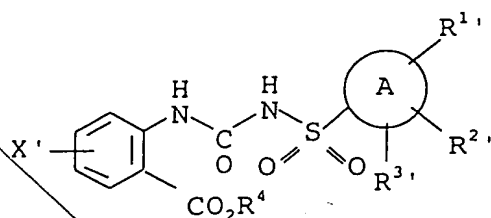
$R^{2'}$  and  $R^{3'}$  are  $R^2$  and  $R^3$ , respectively, which may be protected with a protecting group, which may be the same or different, and which represent a hydrogen  
35 atom, an unsubstituted or substituted  $C_1$  to  $C_4$  lower alkyl group, a halogen atom, a hydroxyl group, a  $C_1$  to  $C_4$  lower alkoxy group, an amino group, an unsubstituted or substituted  $C_1$  to  $C_4$  lower alkylamino group, an unsubstituted or substituted  $C_7$  to  $C_{10}$  aralkylamino group,

an amino group acylated with a C<sub>1</sub> to C<sub>4</sub> lower aliphatic acid which may be substituted with a carboxylic acid group, an amino group acylated with an aromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group acylated with a heteroaromatic ring carboxylic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a C<sub>1</sub> to C<sub>4</sub> lower alkanesulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with an aromatic ring sulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a heteroaromatic ring sulfonic acid which may be substituted with a carboxylic acid group, or a carboxylic acid group or when the ring A is a benzene ring, R<sup>1</sup> and R<sup>2</sup> may form, together with the substituting benzene ring, a fused heterocyclic ring which may be substituted with a carboxylic acid and in which the carbon atom in the ring may form a carbonyl group and R<sup>3</sup> is the same as defined above; and

X' is X, which may be protected with a protecting group and which represents a hydrogen atom, a C<sub>1</sub> to C<sub>4</sub> lower alkyl group, a C<sub>1</sub> to C<sub>4</sub> lower alkoxy group, a halogen atom, a hydroxyl group, an amino group, or a nitro group, with the proviso that, when the ring A is a benzene ring, R<sup>1</sup> is an amino group and both R<sup>2</sup> and R<sup>3</sup> are a hydrogen atom, R<sup>1</sup> is not positioned at the para-position to the sulfonyl group.

12. (Amended) A sulfonylurea derivative having the formula (7):

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(7)

wherein, the ring A represents an aryl group;

$R^1$  is  $R^1$ , which may be protected with a protecting group and which represents a hydroxyl group,

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an amino group, a C<sub>1</sub> to C<sub>4</sub> lower alkylamino group which  
may be substituted with a carboxylic acid group, a C<sub>7</sub> to  
C<sub>10</sub> lower aralkylamino group which may be substituted  
with a carboxylic acid group, an amino group acylated  
5 with a C<sub>1</sub> to C<sub>4</sub> lower aliphatic acid which may be  
substituted with a carboxylic acid group, an amino group  
acylated with an aromatic ring carboxylic acid which may  
be substituted with a carboxylic acid group, an amino  
group acylated with a heteroaromatic ring carboxylic acid  
10 which may be substituted with a carboxylic acid group, an  
amino group sulfonylated with a C<sub>1</sub> to C<sub>4</sub> lower  
alkanesulfonic acid which may be substituted with a  
carboxylic acid group, an amino group sulfonylated with  
an aromatic ring sulfonic acid which may be substituted  
15 with a carboxylic acid group, an amino group sulfonylated  
with a heteroaromatic ring sulfonic acid which may be  
substituted with a carboxylic acid group, a C<sub>1</sub> to C<sub>4</sub>  
lower alkyl group substituted with a carboxylic acid  
group, or a C<sub>2</sub> to C<sub>4</sub> lower alkylene group which may be  
20 substituted with a carboxylic acid group;

R<sup>2</sup> and R<sup>3</sup> are R<sup>2</sup> and R<sup>3</sup>, respectively,  
which may be protected with a protecting group, which may  
be the same or different and which represent a hydrogen  
atom, an unsubstituted or substituted C<sub>1</sub> to C<sub>4</sub> lower  
25 alkyl group, a halogen atom, a hydroxyl group, a C<sub>1</sub> to C<sub>4</sub>  
lower alkoxy group, an amino group, an unsubstituted or  
substituted C<sub>1</sub> to C<sub>4</sub> lower alkylamino group, an  
unsubstituted or substituted C<sub>7</sub> to C<sub>10</sub> lower aralkylamino  
group, an amino group acylated with a C<sub>1</sub> to C<sub>4</sub> lower  
30 aliphatic acid which may be substituted with a carboxylic  
acid group, an amino group acylated with an aromatic ring  
carboxylic acid which may be substituted with a  
carboxylic acid group, an amino group acylated with a  
heteroaromatic ring carboxylic acid which may be  
35 substituted with a carboxylic acid group, an amino group  
sulfonylated with a C<sub>1</sub> to C<sub>4</sub> lower alkanesulfonic acid  
which may be substituted with a carboxylic acid group, an



amino group sulfonylated with an aromatic ring sulfonic acid which may be substituted with a carboxylic acid group, an amino group sulfonylated with a heteroaromatic ring sulfonic acid which may be substituted with a carboxylic acid group, or a carboxylic acid group or

when the ring A is a benzene ring,  $R^1$  and  $R^2$  may form together with the substituting benzene ring a fused heterocyclic ring which may be substituted with a carboxylic acid and in which the carbon atom in the ring may form a carbonyl group and  $R^3$  is the same as defined above;

$R^4$  represents a protecting group for a carboxyl group; and

$X'$  is  $X$ , which may be protected with a protecting group and which represents a hydrogen atom, a  $C_1$  to  $C_4$  lower alkyl group, a  $C_1$  to  $C_4$  lower alkoxy group, a halogen atom, a hydroxyl group, an amino group, or a nitro group, with the proviso that, when the ring A is a benzene ring,  $R^1$  is an amino group and both  $R^2$  and  $R^3$  are a hydrogen atom,  $R^1$  is not positioned at the para-position to the sulfonyl group.

13. A method for producing a quinazoline derivative having the formula (1) according to claim 1 comprising:

allowing a sulfonylurea derivative having the formula (4) according to claim 11 to a ring-closing reaction with a condensation agent or

deprotecting a carboxyl group of the sulfonylurea derivative having the formula (7) according to claim 12, followed by effecting a ring-closing reaction with a condensation agent.

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